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ΑN
     2006:1034333 CAPLUS Full-text
. DN
     145:397557
ΤI
     Preparation of tartaric acid salts of a dipeptidyl peptidase-IV inhibitor
     Song, Zhiguo J.; Zhang, Fei; Shultz, Rebecca Leigh
ΙN
PΑ
     Merck & Co., Inc., USA
SO
     PCT Int. Appl., 28pp.
     CODEN: PIXXD2
DΤ
     Patent
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     English
FAN.CNT 1
     PATENT NO.
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                                            APPLICATION NO.
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PΙ
     WO 2006104997
                         A2
                                20061005
                                         WO 2006-US11064
                                                                  20060324
            AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH,
             CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD,
             GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR,
             KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX,
             MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE,
             SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC,
             VN, YU, ZA, ZM, ZW
         RW: AT, BE, BG, CH, CY, CZ; DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,
             IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ,
             CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH,
             GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,
             KG, KZ, MD, RU, TJ, TM
PRAI US 2005-665997P
                          P
                                20050329
     Tartaric acid salts of (3R)-4-[(3R)-3-amino-4-(2,4,5-
     trifluorophenyl)butanoyl]hexahydro-3-(2,2,2-trifluoroethyl)-2H-1,4- diazepin-
     2-one are potent inhibitors of dipeptidyl peptidase-IV and are useful for the
     prevention and/or treatment of non-insulin dependent diabetes mellitus, also
     referred to as Type 2 diabetes. The invention also relates to crystalline
     anhydrate forms of the tartaric acid salts as well as a process for their
     preparation, pharmaceutical compns. containing these novel forms and methods
     of use for the treatment of Type 2 diabetes.
     911223-30-8P 911301-54-7P
     RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
     (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
     (Uses)
        (preparation of diazepinone tartrate salts for treating Type 2 diabetes)
RN
     911223-30-8 CAPLUS
CN
     2H-1, 4-Diazepin-2-one, 4-[(3R)-3-amino-1-oxo-4-(2,4,5-
     trifluorophenyl)butyl]hexahydro-3-(2,2,2-trifluoroethyl)-, (3R)-,
     (2R, 3R) -2, 3-dihydroxybutanedioate (1:1) (9CI) (CA INDEX NAME)
     CM
          1
     CRN 892144-12-6
         C17 H19 F6 N3 O2
Absolute stereochemistry. Rotation (-).
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ANSWER 1 OF 4 CAPLUS COPYRIGHT 2006 ACS on STN

L5

$$\begin{array}{c|c} & & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & &$$

CM 2

CRN 87-69-4 CMF C4 H6 O6

Absolute stereochemistry.

RN 911301-54-7 CAPLUS

CN 2H-1,4-Diazepin-2-one, 4-[(3R)-3-amino-1-oxo-4-(2,4,5-trifluorophenyl)butyl]hexahydro-3-(2,2,2-trifluoroethyl)-, (3R)-, rel-(2R,3R)-2,3-dihydroxybutanedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 892144-12-6 CMF C17 H19 F6 N3 O2

Absolute stereochemistry. Rotation (-).

$$\begin{array}{c|c} & & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & &$$

CM 2

CRN 133-37-9 CMF C4 H6 O6

Relative stereochemistry.

Absolute stereochemistry.

IT 892113-88-1P 892113-96-1P 892114-03-3P
 911223-29-5P
 RL: PUR (Purification or recovery); SPN (Synthetic preparation); PREP
 (Preparation)
 (preparation of diazepinone tartrate salts for treating Type 2 diabetes)
RN 892113-88-1 CAPLUS
CN Carbamic acid, [(1R)-3-[(2S)-hexahydro-3-oxo-2-(2,2,2-trifluoroethyl)-1H 1,4-diazepin-1-yl]-3-oxo-1-[(2,4,5-trifluorophenyl)methyl]propyl]-,
 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN

CN Carbamic acid, [(1S)-3-[(2R)-hexahydro-3-oxo-2-(2,2,2-trifluoroethyl)-1H-1,4-diazepin-1-yl]-3-oxo-1-[(2,4,5-trifluorophenyl)methyl]propyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 892114-03-3 CAPLUS

CN Carbamic acid, [(1S)-3-[(2S)-hexahydro-3-oxo-2-(2,2,2-trifluoroethyl)-1H-1,4-diazepin-1-yl]-3-oxo-1-[(2,4,5-trifluorophenyl)methyl]propyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 911223-29-5 CAPLUS

CN 2H-1,4-Diazepin-2-one, 4-[(3R)-3-amino-1-oxo-4-(2,4,5-trifluorophenyl)butyl]hexahydro-3-(2,2,2-trifluoroethyl)-, (3S)-, (2S,3S)-2,3-dihydroxybutanedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 911223-28-4 CMF C17 H19 F6 N3 O2

CM 2

CRN 147-71-7 CMF C4 H6 O6

Absolute stereochemistry.

IT 911223-26-2P

RL: PAC (Pharmacological activity); PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of diazepinone tartrate salts for treating Type 2 diabetes and crystal structure)

RN 911223-26-2 CAPLUS

CN 2H-1,4-Diazepin-2-one, 4-[(3R)-3-amino-1-oxo-4-(2,4,5-trifluorophenyl)butyl]hexahydro-3-(2,2,2-trifluoroethyl)-, (3R)-, (2S,3S)-2,3-dihydroxybutanedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 892144-12-6 CMF C17 H19 F6 N3 O2

Absolute stereochemistry. Rotation (-).

$$\begin{array}{c|c} & & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & &$$

CM 2

CRN 147-71-7 CMF C4 H6 O6

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L5 ANSWER 2 OF 4 CAPLUS COPYRIGHT 2006 ACS on STN
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AN 2006:603862 CAPLUS Full-text

DN 145:63148

TI Process for the preparation of chiral $\beta\text{-amino}$ acid derivatives by asymmetric hydrogenation

IN Biftu, Tesfaye; Song, Zhiguo J.; Zhang, Fei; Rosner, Thorsten; Hansen, Karl; Armstrong, Joseph D., III

PA Merck & Co., Inc., USA

SO PCT Int. Appl., 41 pp. CODEN: PIXXD2

DT Patent

LA English

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			CN,	CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	EG,	ES,	FI,	GB,	GD,
			GE,	GH,	GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	ΚE,	KG,	KM,	KN,	ΚP,	KR,
			ΚZ,	LC,	LK,	LR,	LS,	LT,	LU,	LV,	LY,	MA,	MD,	MG,	MK,	MN,	MW,	MX,
			MZ,	NA,	NG,	NI,	NO,	NZ,	OM,	PG,	PH,	PL,	PT,	RO,	RU,	SC,	SD,	SE,
			SG,	SK,	SL,	SM,	SY,	ТJ,	TM,	TN,	TR,	TT,	TZ,	UA,	UG,	US,	UZ,	VC,
			VN,	YU,	ZA,	ZM,	ZW											
		RW:	ΑT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE,	ES,	FI,	FR,	GB,	GR,	HU,	ΙE,
			ÍS,	IT,	LT,	LU,	LV,	MC,	NL,	PL,	PT,	RO,	SE,	SI,	SK,	TR,	BF,	ВJ,
			CF,	CG,	CI,	CM,	GA,	GN,	GQ,	GW,	ML,	MR,	NE,	SN,	ŢD,	TG,	BW,	GH,
			GM,	KE,	LS,	MW,	ΜZ,	NA,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AM,	AZ,	BY,
			KG,	ΚZ,	MD,	RU,	ТJ,	TM										
PRAI US 2004-636223P						Р		2004	1215									
· US 2005-659165P								2005	0307									
OS GI	MAI	RPAT	145:	6314	3													Ä

The invention relates to a process for the efficient preparation of enantiomerically enriched β -amino acid derivs. I (Ar is Ph which may be substituted by halogen, CF3 or CF30; R is alkyl or fluoroalkyl) which are inhibitors of dipeptidyl peptidase-IV. The process comprises enantioselective hydrogenation of prochiral β -amino acrylic acid derivative II in the presence of a transition metal precursor complexed with a chiral ferrocenyl diphosphine ligand with in situ protection of the primary amine product. Thus, acrylic acid derivative II (Ar is 2,4,5-F3C6H2, R is CF3CH2) (preparation given) was hydrogenated in the presence of chloro(1,5-cyclooctadiene)rhodium(I) dimer, (R,S)-t-Bu Josiphos, and Boc2O in MeOH to give I.HCl, following separation of isomers and deprotection.

IT 892114-11-3P

RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation)

(preparation of chiral $\beta\text{-amino}$ acid derivs. by asym. hydrogenation of prochiral $\beta\text{-amino}$ acryloyldiazepinones)

RN 892114-11-3 CAPLUS

CN 2H-1,4-Diazepin-2-one, 4-[(3R)-3-amino-1-oxo-4-(2,4,5-trifluorophenyl)butyl]hexahydro-3-(2,2,2-trifluoroethyl)-, monohydrochloride, (3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

HC1

IT 892113-81-4P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of chiral β -amino acid derivs. by asym. hydrogenation of prochiral β -amino acryloyldiazepinones)

RN 892113-81-4 CAPLUS

CN Carbamic acid, [(1R)-3-[(2R)-hexahydro-3-oxo-2-(2,2,2-trifluoroethyl)-1H-1,4-diazepin-1-yl]-3-oxo-1-[(2,4,5-trifluorophenyl)methyl]propyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

IT 892113-88-1P 892113-96-1P 892114-03-3P

RL: SPN (Synthetic preparation); PREP (Preparation)

(preparation of chiral β -amino acid derivs. by asym. hydrogenation of prochiral β -amino acryloyldiazepinones)

RN 892113-88-1 CAPLUS

CN Carbamic acid, [(1R)-3-[(2S)-hexahydro-3-oxo-2-(2,2,2-trifluoroethyl)-1H-1,4-diazepin-1-yl]-3-oxo-1-[(2,4,5-trifluorophenyl)methyl]propyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

RN 892113-96-1 CAPLUS

CN Carbamic acid, [(1S)-3-[(2R)-hexahydro-3-oxo-2-(2,2,2-trifluoroethyl)-1H-1,4-diazepin-1-yl]-3-oxo-1-[(2,4,5-trifluorophenyl)methyl]propyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 892114-03-3 CAPLUS

CN Carbamic acid, [(1S)-3-[(2S)-hexahydro-3-oxo-2-(2,2,2-trifluoroethyl)-1H-1,4-diazepin-1-yl]-3-oxo-1-[(2,4,5-trifluorophenyl)methyl]propyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

L5 ANSWER 3 OF 4 CAPLUS COPYRIGHT 2006 ACS on STN

AN 2005:120662 CAPLUS Full-text

DN 142:219315

TI Preparation of hexahydrodiazepinones as dipeptidyl peptidase IV inhibitors

IN Biftu, Tesfaye; Liang, Gui-Bai; Feng, Danqing Dennis; Weber, Ann E.

PA Merck & Co., Inc., USA

SO PCT Int. Appl., 68 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN. CNT 1

FAN.CNT 1																				
	PA'	rent :	NO.			KIND		DATE			APPL	ICAT	ION		DATE					
PI		2005011581 2005011581							,	WO 2004-US24052						20040727				
		W:	ΑE,	AG,	AL,	AM,	AT,	ΑU,	ΑZ,	BA,	BB,	BG,	BR,	BW,	BY,	ΒZ,	CA,	CH,		
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			GE,	GH,	GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	ΚE,	KG,	ΚP,	KR,	KΖ,	LC,		
			LK,	LR,	LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	ΜZ,	NA,	NI,		
			NO,	ΝZ,	OM,	PG,	PH,	PL,	PT,	RO,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	SY,		
			ТJ,	TM,	TN,	TR,	TT,	TZ,	UA,	UG,	US,	UZ,	VC,	VN,	YU,	ZA,	ZM,	ZW		
		RW:	BW,	GH,	GM,	ΚE,	LS,	MW,	ΜZ,	NA,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AM,		
			ΑZ,	BY,	KG,	ΚZ,	MD,	RU,	ТJ,	TM,	ΑT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,		
			EE,	ES,	FI,	FR,	GB,	GR,	HU,	ΙE,	IT,	LU,	MC,	NL,	PL,	PT,	RO,	SE,		
			SI,	SK,	TR,	BF,	ΒJ,	CF,	CG,	CI,	CM,	GA,	GN,	GQ,	GW,	ML,	MR,	NE,		
			SN,	TD,	TG															
	ΑU	2004	2611	86		A1		2005	0210		AU 2	004-	2611		20040727					
	CA	2533	893			AA		20050210		1	CA 2	2004-2533893				2	727			
	EΡ	EP 1651623						20060503			EP 2004-779221			21		20040	040	727		
		R:	ΑT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	GR,	ΙΤ,	LI,	LU,	NL,	SE,	MC,	PT,		
			ΙE,	SI,	LT,	LV,	FI,	RO,	CY,	TR,	BG,	CZ,	EE,	ΗU,	PL,	SK				
		2006					A1 20060921				US 2006-566235						20060127			
PRAI								20030731												
	WO	2004	-US2	4052		W		20040727												
OS	CAS	SREAC'	Г 14:	2:21	9315	; MAI	RPAT	142	:2193	315										
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Ι

AB Title compds. I [Ar = Ph substituted with one to five R3; R3 = H, halo, cyano, etc.; R1 = H, (un)substituted alkyl, (CH2)naryl etc., wherein aryl is (un)substituted with one to five substituents independently selected from halo, cyano, hydroxy, etc.; R4, R6, R10 = H, cyano, carboxy, etc.; R8 = halo, hydroxy, R4; R5, R7, R11 = H, alkyl; further details on R1, R7 are given; R9 = H, hydroxy, halo, etc. with the proviso that at least one of R6, R7, R8 and R9 is not hydrogen] and their pharmacetically acceptable salts were prepared For

example, acylation of (3R,7R)-3,7-dimethyl-1,4-diazepan-2-one with <math>(3R)-3-dimethyl-1[(tert-butoxycarbonyl)amino]-4-(2,4,5- trifluorophenyl)butanoic acid, e.g., prepared from (2S)-2,5-dihydro-3,6- dimethoxy-2-isopropylpyrazine in 4 steps, using iso-Bu chloroformate followed by treatment with HCl afforded compound II hydrochloride. Compds. I are claimed useful for the treatment of hyperglycemia, type 2 diabetes, etc. (no data). ΙT 842103-62-2P 842103-63-3P 842103-64-4P 842103-65-5P 842103-66-6P 842103-67-7P 842103-68-8P 842103-69-9P 842103-70-2P 842103-71-3P 842103-72-4P 842103-73-5P 842103-74-6P 842103-75-7P 842103-76-8P 842103-77-9P RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation of hexahydrodiazepinones as dipeptidyl peptidase IV inhibitors for treatment of hyperglycemia, type 2 diabetes, etc.) RN 842103-62-2 CAPLUS CN 2H-1, 4-Diazepin-2-one, 4-[(3R)-3-amino-1-oxo-4-(2,4,5trifluorophenyl)butyl]hexahydro-3,7-dimethyl-, monohydrochloride, (3R,7R)-(CA INDEX NAME)

Absolute stereochemistry.

● HCl

RN 842103-63-3 CAPLUS
CN 2H-1,4-Diazepin-2-one, 4-[(3R)-3-amino-1-oxo-4-(2,4,5-trifluorophenyl)butyl]hexahydro-7-methyl-3-(2-pyridinylmethyl)-, hydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

$$\begin{array}{c} \text{Me} \\ \text{HN} \\ \\ \text{N} \\ \\ \text{N} \\ \\ \text{F} \end{array}$$

●x HCl

RN 842103-64-4 CAPLUS
CN 2H-1,4-Diazepin-2-one, 4-[(3R)-3-amino-1-oxo-4-(2,4,5-trifluorophenyl)butyl]-7-[(2-fluorophenyl)methyl]hexahydro-,
monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

$$\begin{array}{c|c} & & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & &$$

● HCl

RN 842103-65-5 CAPLUS

CN 2H-1,4-Diazepin-2-one, 4-[(3R)-3-amino-1-oxo-4-(2,4,5-trifluorophenyl)butyl]-7-[(2-fluorophenyl)methyl]hexahydro-3-methyl-, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

$$\begin{array}{c|c} F \\ \hline \\ HN \\ \hline \\ Me \end{array}$$

● HCl

RN 842103-66-6 CAPLUS

CN 2H-1,4-Diazepin-2-one, 4-[(3R)-3-amino-1-oxo-4-(2,4,5-trifluorophenyl)butyl]hexahydro-3-methyl-7-(trifluoromethyl)-, monohydrochloride (9CI) (CA INDEX NAME)

F3C
$$_{HN}$$
 $_{Me}$ $_{NH_2}$ $_{F}$

RN 842103-67-7 CAPLUS
CN 2H-1,4-Diazepin-2-one, 4-[(3R)-3-amino-1-oxo-4-(2,4,5-trifluorophenyl)butyl]-3-[(2-fluorophenyl)methyl]hexahydro-7-methyl-, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

$$\begin{array}{c} \text{Me} \\ \text{HN} \\ \text{F} \end{array}$$

● HCl

RN 842103-68-8 CAPLUS
CN 2H-1,4-Diazepin-2-one, 4-[(3R)-3-amino-1-oxo-4-(2,4,5-trifluorophenyl)butyl]hexahydro-7-methyl-3-(2,2,2-trifluoroethyl)-, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

● HCl

RN 842103-69-9 CAPLUS
CN 2H-1,4-Diazepin-2-one, 4-[(3R)-3-amino-1-oxo-4-(2,4,5-trifluorophenyl)butyl]hexahydro-7-methyl-3-[(2-methylphenyl)methyl]-, monohydrochloride (9CI) (CA INDEX NAME)

● HCl

RN .842103-70-2 CAPLUS

CN 2H-1,4-Diazepin-2-one, 4-[(3R)-3-amino-1-oxo-4-(2,4,5-trifluorophenyl)butyl]hexahydro-7-methyl-3-[[2-

(trifluoromethyl)phenyl]methyl]-, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

$$\begin{array}{c} \text{Me} \\ \text{HN} \\ \\ \text{CF3} \end{array}$$

● HCl

RN 842103-71-3 CAPLUS

CN 2H-1,4-Diazepin-2-one, 4-[(3R)-3-amino-1-oxo-4-(2,4,5-trifluorophenyl)butyl]hexahydro-3,6-dimethyl-, monohydrochloride, (3R,6S)-(9CI) (CA INDEX NAME)

RN 842103-72-4 CAPLUS

CN 2H-1,4-Diazepin-2-one, 4-[(3R)-3-amino-1-oxo-4-(2,4,5-trifluorophenyl)butyl]hexahydro-3,6-dimethyl-, monohydrochloride, (3R,6R)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

● HCl

RN 842103-73-5 CAPLUS

CN 2H-1,4-Diazepin-2-one, 4-[(3R)-3-amino-1-oxo-4-(2,4,5-trifluorophenyl)butyl]-3-ethylhexahydro-6-methyl-, monohydrochloride, (3R,6S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

● HCl

RN 842103-74-6 CAPLUS

CN 2H-1,4-Diazepin-2-one, 4-[(3R)-3-amino-1-oxo-4-(2,4,5-trifluorophenyl)butyl]-3-ethylhexahydro-6-methyl-, monohydrochloride, (3R,6R)- (9CI) (CA INDEX NAME)

● HCl

RN 842103-75-7 CAPLUS

CN 2H-1,4-Diazepin-2-one, 4-[(3R)-3-amino-1-oxo-4-(2,4,5-trifluorophenyl)butyl]hexahydro-6-methyl-3-(2,2,2-trifluoroethyl)-, monohydrochloride, (3R,6S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

$$\begin{array}{c} \text{Me} \\ \\ \text{S} \\ \\ \text{CF3} \end{array}$$

● HCl

RN 842103-76-8 CAPLUS

CN 2H-1,4-Diazepin-2-one, 4-[(3R)-3-amino-1-oxo-4-(2,4,5-trifluorophenyl)butyl]hexahydro-6-methyl-3-(2,2,2-trifluoroethyl)-, monohydrochloride, (3R,6R)- (9CI) (CA INDEX NAME)

$$\begin{array}{c} \text{Me} \\ \text{R} \\ \text{R} \\ \text{CF3} \end{array}$$

RN 842103-77-9 CAPLUS
CN 5H-Pyrrolo[1,2-d][1,4]diazepin-5-one, 3-[(3R)-3-amino-1-oxo-4-(2,4,5-trifluorophenyl)butyl]octahydro-4-methyl-, (4R,9aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Absolute stereochemistry.

RN 842103-79-1 CAPLUS
CN 2H-1,4-Diazepin-2-one, 4-[(3R)-3-amino-1-oxo-4-(2,4,5-trifluorophenyl)butyl]hexahydro-7-methyl-3-[(2-methylphenyl)methyl]-, (3R,7R)- (9CI) (CA INDEX NAME)

RN 842103-80-4 CAPLUS

CN 2H-1,4-Diazepin-2-one, 4-[(3R)-3-amino-1-oxo-4-(2,4,5-trifluorophenyl)butyl]hexahydro-7-methyl-3-[[2-(trifluoromethyl)phenyl]methyl]-, (3R,7R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 842104-13-6 CAPLUS

CN 2H-1,4-Diazepin-2-one, 4-[(3R)-3-amino-1-oxo-4-(2,4,5-trifluorophenyl)butyl]hexahydro-3,7-dimethyl-, (3R,7R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 842104-14-7 CAPLUS

CN 2H-1,4-Diazepin-2-one, 4-[(3R)-3-amino-1-oxo-4-(2,4,5-trifluorophenyl)butyl]hexahydro-7-methyl-3-(2-pyridinylmethyl)- (9CI) (CA INDEX NAME)

RN 842104-15-8 CAPLUS

CN 2H-1,4-Diazepin-2-one, 4-[(3R)-3-amino-1-oxo-4-(2,4,5-trifluorophenyl)butyl]hexahydro-3,6-dimethyl-, (3R,6S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

$$\begin{array}{c|c} & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\$$

RN 842104-16-9 CAPLUS

CN 2H-1,4-Diazepin-2-one, 4-[(3R)-3-amino-1-oxo-4-(2,4,5-trifluorophenyl)butyl]hexahydro-7-methyl-3-(2,2,2-trifluoroethyl)-, (3R,7R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

IT 842104-11-4P 842104-12-5P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of hexahydrodiazepinones as dipeptidyl peptidase IV inhibitors for treatment of hyperglycemia, type 2 diabetes, etc.)

RN 842104-11-4 CAPLUS

CN Carbamic acid, [(1R)-3-[(2R,5R)-hexahydro-2,5-dimethyl-3-oxo-1H-1,4-diazepin-1-yl]-3-oxo-1-[(2,4,5-trifluorophenyl)methyl]propyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

RN 842104-12-5 CAPLUS

CN Carbamic acid, [(1R)-3-[(2R,6S)-hexahydro-2,6-dimethyl-3-oxo-1H-1,4-diazepin-1-yl]-3-oxo-1-[(2,4,5-trifluorophenyl)methyl]propyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

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L5 ANSWER 4 OF 4 CAPLUS COPYRIGHT 2006 ACS on STN AN 2004:368864 CAPLUS <u>Full-text</u> DN 140:391494
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TI Preparation of $\beta\text{-amino}$ heterocyclic dipeptidyl peptidase inhibitors for the treatment or prevention of diabetes

PA Merck & Co., Inc., USA

SO PCT Int. Appl., 74 pp. CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PATENT NO.					KIND DATE					APPL			DATE				
PI			A2 200405 A3 200408					WO 2	2003-			2	0031	014				
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		RW:	TR, GH, KG, FI,	TT, GM, KZ, FR,	TZ, KE, MD, GB,	UA, LS, RU, GR,	UG, MW, TJ, HU,	US, MZ, TM, IE,	UZ, SD, AT, IT,	VC, SL, BE, LU,	VN, SZ, BG, MC,	SG, YU, TZ, CH, NL,	ZA, UG, CY, PT,	ZM, ZM, CZ, RO,	ZW, ZW, DE, SE,	AM, DK, SI,	AZ, EE, SK,	BY, ES, TR,
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OS GI		2003- RPAT :				W	:	2003:	LU14									

AB The invention relates to diazepinone β -amino acid derivs. I [Ar is substituted phenyl; R1 is (un)substituted alkyl, (CH2)0-2-aryl, -heteroaryl, -heterocyclyl or -cycloalkyl; R4, R5 are H, cyano, carboxy, carbalkoxy, (un)substituted alkyl, (CH2)0-2-aryl, etc.; R6, R9 are H or alkyl] which are inhibitors of the

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procedure in which intermediate Me N-(3-aminopropyl)-N-(tert-butoxycarbonyl)-
     D-alaninate underwent Me3Al-catalyzed cyclization to tert-Bu (2R)-hexahydro-2-
     methyl-3-oxo-1H- 1,4-diazepine-1-carboxylate.
     685857-73-2P 685857-75-4P 685857-77-6P
     685857-79-8P 685857-81-2P 685857-83-4P
     685857-86-7P 685857-89-0P 685857-92-5P
     685857-94-7P 685857-96-9P 685857-98-1P
     685858-00-8P 685858-02-0P 685858-04-2P
     685858-06-4P 685858-08-6P 685858-10-0P
     685858-12-2P 685858-14-4P 685858-16-6P
     685858-18-8P 685858-20-2P 685858-22-4P
     685858-24-6P 685858-26-8P 685858-28-0P
     685858-30-4P 685858-32-6P 685858-34-8P
     685858-36-0P 685858-38-2P 685858-40-6P
     685858-42-8P 685858-44-0P 685858-46-2P
     685858-48-4P 685858-50-8P 685858-52-0P
     685858-54-2P 685858-56-4P 685858-58-6P
     685858-60-0P 685858-62-2P 685858-64-4P
     685858-66-6P 685858-68-8P 685858-70-2P
     685858-72-4P 685858-74-6P 685858-76-8P
     685858-78-0P 685858-80-4P 685858-82-6P
     RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
     (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
     (Uses)
        (preparation of diazepinone \beta-amino acid derivs. as dipeptidyl
        peptidase inhibitors for treatment or prevention of diabetes)
RN
     685857-73-2 CAPLUS
CN
     2H-1, 4-Diazepin-2-one, 4-[(3R)-3-amino-1-oxo-4-(2, 4, 5-amino-1)]
     trifluorophenyl)butyl]hexahydro-3-methyl-, monohydrochloride, (3R)- (9CI)
     (CA INDEX NAME)
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dipeptidyl peptidase-IV enzyme (DP-IV inhibitors) and are useful in the treatment or prevention of diseases, e.g., type 2 diabetes, in which DP-IV enzyme is involved. Thus, diazepinone II was prepared by a multistep

Absolute stereochemistry.

● HCl

RN 685857-75-4 CAPLUS
CN 2H-1,4-Diazepin-2-one, 4-[(3R)-3-amino-4-(2,5-difluorophenyl)-1oxobutyl]hexahydro-1-methyl-, monohydrochloride (9CI) (CA INDEX NAME)

Me
$$-N$$
 H_2N
 F

● HCl

RN 685857-77-6 CAPLUS

CN 2H-1,4-Diazepin-2-one, 4-[(3R)-3-amino-1-oxo-4-(2,4,5-trifluorophenyl)butyl]hexahydro-1-methyl-3-(phenylmethyl)-, monohydrochloride, (3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

$$\begin{array}{c|c} & & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & &$$

● HCl

RN 685857-79-8 CAPLUS

CN 2H-1,4-Diazepin-2-one, 4-[(3R)-3-amino-1-oxo-4-(2,4,5-trifluorophenyl)butyl]hexahydro-3-[[4-(trifluoromethoxy)phenyl]methyl]-, monohydrochloride, (3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

● HCl

RN 685857-81-2 CAPLUS

CN 2H-1,4-Diazepin-2-one, 4-[(3R)-3-amino-1-oxo-4-(2,4,5-trifluorophenyl)butyl]-1-(1,1-dimethylethyl)hexahydro-3-methyl-, monohydrochloride, (3R)- (9CI) (CA INDEX NAME)

● HCl

RN 685857-83-4 CAPLUS

CN 2H-1,4-Diazepin-2-one, 4-[(3R)-3-amino-1-oxo-4-(2,4,5-trifluorophenyl)butyl]hexahydro-5-methyl-, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

$$\underset{\text{HN}}{\text{Me}} \qquad \underset{\text{NH2}}{\overset{\text{F}}{\longleftarrow}} \qquad \underset{\text{F}}{\overset{\text{F}}{\longleftarrow}} \qquad \underset{\text{F}}{\overset{\text{R}}{\longleftarrow}} \qquad \underset{\text{F}}{\overset{\text{F}}{\longleftarrow}} \qquad \underset{\text{F}}{\overset{\text{R}}{\longleftarrow}} \qquad \underset{\text{F}}{\overset{\text{F}}{\longleftarrow}} \qquad \underset{\text{F}}{\overset{\text{R}}{\longleftarrow}} \qquad \underset{\text{F}}{\overset{\text{F}}{\longleftarrow}} \qquad \underset{\text{F}}{\overset{\text{F}}{\overset{\text{F}}{\longleftarrow}} \qquad \underset{\text{F}}{\overset{\text{F}}{\longleftarrow}} \qquad \underset{\text{F}}{\overset{\text{F}}{\overset{\text{F}}{\longleftarrow}} \qquad \underset{\text{F}}{\overset{\text{F}}{\overset{\text{F}}{\overset{\text{F}}{\longleftarrow}}} \qquad \underset{\text{F}}{\overset{\text{F}}{\overset{\text{F}}{\overset{\text{F}}{\overset{\text{F}}{\overset{\text{F}}{\overset{\text{F}}{\overset{\text{F}}{\overset{\text{F}}}}} \qquad \underset{\text{F}}{\overset{\text{F}}{\overset{\text{F}}{\overset{\text{F}}{\overset{\text{F}}{\overset{\text{F}}}} \qquad \underset{\text{F}}{\overset{\text{F}}{\overset{\text{F}}{\overset{\text{F}}{\overset{\text{F}}{\overset{\text{F}}}} \qquad \underset{\text{F}}{\overset{\text{F}}{\overset{\text{F}}{\overset{\text{F}}{\overset{\text{F}}{\overset{\text{F}}}} \qquad \underset{\text{F}}{\overset{\text{F}}{\overset{\text{F}}{\overset{\text{F}}}} \qquad \underset{\text{F}}{\overset{\text{F}}{\overset{\text{F}}}} \qquad \underset{\text{F}}{\overset{\text{F}}{\overset{\text{F}}}} \qquad \underset{\text{F}}{\overset{\text{F}}{\overset{\text{F}}}} \qquad \underset{\text{F}}{\overset{\text{F}}{\overset{\text{F}}} \qquad \underset{\text{F}}{\overset{\text{F}}} \qquad \underset{\text{F}}{$$

● HCl

RN 685857-86-7 CAPLUS

CN 2H-1,4-Diazepin-2-one, 4-[(3R)-3-amino-1-oxo-4-(2,4,5-trifluorophenyl)butyl]hexahydro-3-[(1-oxido-2-pyridinyl)methyl]-, (3R)-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 685857-85-6 CMF C21 H23 F3 N4 O3

Absolute stereochemistry.

CRN 76-05-1 CMF C2 H F3 O2

RN 685857-89-0 CAPLUS

CN 2H-1,4-Diazepin-2-one, 4-[(3R)-3-amino-1-oxo-4-(2,4,5-trifluorophenyl)butyl]hexahydro-3-[(1-oxido-3-pyridinyl)methyl]-, (3R)-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 685857-88-9

CMF C21 H23 F3 N4 O3

Absolute stereochemistry.

CRN 76-05-1 CMF C2 H F3 O2

RN 685857-92-5 CAPLUS
CN 2H-1,4-Diazepin-2-one, 4-[(3R)-3-amino-1-oxo-4-(2,4,5-trifluorophenyl)butyl]hexahydro-3-(1H-pyrazol-1-ylmethyl)-, (3R)-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 685857-91-4 CMF C19 H22 F3 N5 O2

Absolute stereochemistry.

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 685857-94-7 CAPLUS

CN 2H-1,4-Diazepin-2-one, 4-[(3R)-3-amino-4-(2,5-difluorophenyl)-1-oxobutyl]hexahydro-3-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 685857-96-9 CAPLUS

CN 2H-1,4-Diazepin-2-one, 4-[(3R)-3-amino-1-oxo-4-(2,4,5-trifluorophenyl)butyl]-3-(cyclopropylmethyl)hexahydro- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 685857-98-1 CAPLUS

CN 2H-1,4-Diazepin-2-one, 4-[(3R)-3-amino-1-oxo-4-(2,4,5-trifluorophenyl)butyl]hexahydro-1,3-dimethyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

$$\begin{array}{c|c} & & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & &$$

RN 685858-00-8 CAPLUS

CN 2H-1,4-Diazepin-2-one, 4-[(3R)-3-amino-4-(2,5-difluorophenyl)-1-oxobutyl]-1-ethylhexahydro-3-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 685858-02-0 CAPLUS

CN 2H-1, 4-Diazepin-2-one, 4-[(3R)-3-amino-1-oxo-4-(2,4,5-

trifluorophenyl)butyl]-1-cyclopropylhexahydro-3-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 685858-04-2 CAPLUS

CN 1H-1,4-Diazepine-1-acetic acid, 4-[(3R)-3-amino-4-(2,5-difluorophenyl)-1-oxobutyl]hexahydro-3-methyl-2-oxo-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

$$\begin{array}{c|c} & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & \\ & & & \\ & &$$

RN 685858-06-4 CAPLUS

CN 2H-1,4-Diazepin-2-one, 4-[(3R)-3-amino-1-oxo-4-(2,4,5-trifluorophenyl)butyl]hexahydro-1-(2-hydroxyethyl)-3-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

$$_{\text{Me}}$$
 $_{\text{NH}_2}$ $_{\text{F}}$

RN 685858-08-6 CAPLUS

CN 2H-1,4-Diazepin-2-one, 4-[(3R)-3-amino-1-oxo-4-(2,4,5-trifluorophenyl)butyl]hexahydro-3-methyl-1-[2-(phenylmethoxy)ethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 685858-10-0 CAPLUS

CN 2H-1,4-Diazepin-2-one, 4-[(3R)-3-amino-1-oxo-4-(2,4,5-trifluorophenyl)butyl]-3-ethylhexahydro-1-methyl- (9CI) (CA INDEX NAME)

RN 685858-12-2 CAPLUS

CN 2H-1,4-Diazepin-2-one, 4-[(3R)-3-amino-4-(2,5-difluorophenyl)-1-oxobutyl]-3-ethylhexahydro-1-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 685858-14-4 CAPLUS

CN 2H-1,4-Diazepin-2-one, 4-[(3R)-3-amino-1-oxo-4-(2,4,5-trifluorophenyl)butyl]hexahydro-3-(hydroxymethyl)-1-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 685858-16-6 CAPLUS

CN 2H-1,4-Diazepin-2-one, 4-[(3R)-3-amino-4-(2-fluorophenyl)-1-oxobutyl]hexahydro-1-methyl-3-(phenylmethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 685858-18-8 CAPLUS

CN 2H-1,4-Diazepin-2-one, 4-[(3R)-3-amino-4-(3,4-difluorophenyl)-1-oxobutyl]hexahydro-1-methyl-3-(phenylmethyl)- (9CI) (CA INDEX NAME)

$$\stackrel{\text{Me}}{\longrightarrow} \stackrel{\text{N}}{\longrightarrow} \stackrel{\text{N}}{\longrightarrow} \stackrel{\text{R}}{\longrightarrow} \stackrel{\text{F}}{\longrightarrow} \stackrel{\text{F}}{$$

RN 685858-20-2 CAPLUS

CN 2H-1,4-Diazepin-2-one, 4-[(3R)-3-amino-1-oxo-4-(2,4,5-trifluorophenyl)butyl]hexahydro-1-methyl-3-[(phenylmethoxy)methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 685858-22-4 CAPLUS

CN 2H-1,4-Diazepin-2-one, 4-[(3R)-3-amino-1-oxo-4-(2,4,5-trifluorophenyl)butyl]-3-ethylhexahydro- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 685858-24-6 CAPLUS

CN 2H-1,4-Diazepin-2-one, 4-[(3R)-3-amino-1-oxo-4-(2,4,5-trifluorophenyl)butyl]hexahydro-3-(phenylmethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

$$\begin{array}{c|c} & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\$$

RN 685858-26-8 CAPLUS

CN 2H-1,4-Diazepin-2-one, 4-[(3R)-3-amino-4-(3,4-difluorophenyl)-1-oxobutyl]hexahydro-3-(phenylmethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 685858-28-0 CAPLUS

CN 2H-1,4-Diazepin-2-one, 4-[(3R)-3-amino-4-(2,5-difluorophenyl)-1-oxobutyl]hexahydro-3-[[4-(trifluoromethoxy)phenyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

$$\begin{array}{c|c} & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ \end{array}$$

RN 685858-30-4 CAPLUS

CN 2H-1,4-Diazepin-2-one, 4-[(3R)-3-amino-1-oxo-4-(2,4,5-trifluorophenyl)butyl]hexahydro-3-[[3-(trifluoromethoxy)phenyl]methyl]-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

$$F_{3}C$$

RN 685858-32-6 CAPLUS

CN 2H-1,4-Diazepin-2-one, 4-[(3R)-3-amino-1-oxo-4-(2,4,5-trifluorophenyl)butyl]hexahydro-1-methyl-3-(2-methylpropyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN. 685858-34-8 CAPLUS

CN 2H-1,4-Diazepin-2-one, 4-[(3R)-3-amino-1-oxo-4-(2,4,5-trifluorophenyl)butyl]-3-[[3,5-bis(trifluoromethyl)phenyl]methyl]hexahydro-(9CI) (CA INDEX NAME)

RN 685858-36-0 CAPLUS

CN 2H-1,4-Diazepin-2-one, 4-[(3R)-3-amino-4-(2,5-difluorophenyl)-1-oxobutyl]hexahydro- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 685858-38-2 CAPLUS

CN 2H-1,4-Diazepin-2-one, 4-[(3R)-3-amino-1-oxo-4-(2,4,5-trifluorophenyl)butyl]hexahydro-3-[[2-(trifluoromethyl)phenyl]methyl]-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 685858-40-6 CAPLUS

CN 2H-1,4-Diazepin-2-one, 4-[(3R)-3-amino-1-oxo-4-(2,4,5-trifluorophenyl)butyl]-3-[(2-chlorophenyl)methyl]hexahydro- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 685858-42-8 CAPLUS

CN 2H-1,4-Diazepin-2-one, 4-[(3R)-3-amino-1-oxo-4-(2,4,5-trifluorophenyl)butyl]hexahydro-3-[(2-methylphenyl)methyl]- (9CI) (CFINDEX NAME)

RN 685858-44-0 CAPLUS

CN 2H-1,4-Diazepin-2-one, 4-[(3R)-3-amino-1-oxo-4-(2,4,5-trifluorophenyl)butyl]-3-[(2,5-dimethylphenyl)methyl]hexahydro- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 685858-46-2 CAPLUS

CN 2H-1,4-Diazepin-2-one, 4-[(3R)-3-amino-1-oxo-4-(2,4,5-trifluorophenyl)butyl]hexahydro-3-methyl-1-(1-methylethyl)- (9CI) (CAINDEX NAME)

Absolute stereochemistry.

RN 685858-48-4 CAPLUS

CN 2H-1,4-Diazepin-2-one, 4-[(3R)-3-amino-1-oxo-4-(2,4,5-trifluorophenyl)butyl]-3-([1,1'-biphenyl]-2-ylmethyl)hexahydro- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 685858-50-8 CAPLUS

CN 2H-1,4-Diazepin-2-one, 4-[(3R)-3-amino-1-oxo-4-(2,4,5-trifluorophenyl)butyl]-3-[(2,5-difluorophenyl)methyl]hexahydro- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 685858-52-0 CAPLUS

CN 2H-1,4-Diazepin-2-one, 4-[(3R)-3-amino-1-oxo-4-(2,4,5-trifluorophenyl)butyl]-3-[(2-fluorophenyl)methyl]hexahydro- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

$$\begin{array}{c|c} & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ \end{array}$$

RN 685858-54-2 CAPLUS

CN 2H-1,4-Diazepin-2-one, 4-[(3R)-3-amino-1-oxo-4-(2,4,5-trifluorophenyl)butyl]hexahydro-3-methyl-1-(2,2,2-trifluoroethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 685858-56-4 CAPLUS

CN 2H-1,4-Diazepin-2-one, 4-[(3R)-3-amino-1-oxo-4-(2,4,5-trifluorophenyl)butyl]-3-[(2,3-difluorophenyl)methyl]hexahydro- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

$$\begin{array}{c|c} & & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & &$$

RN 685858-58-6 CAPLUS

CN 2H-1,4-Diazepin-2-one, 4-[(3R)-3-amino-1-oxo-4-(2,4,5-trifluorophenyl)butyl]hexahydro-3-(3-pyridinylmethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 685858-60-0 CAPLUS

CN 2H-1,4-Diazepin-2-one, 4-[(3R)-3-amino-1-oxo-4-(2,4,5-trifluorophenyl)butyl]-3-[(2-fluorophenyl)methyl]hexahydro-1-propyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 685858-62-2 CAPLUS

CN 2H-1,4-Diazepin-2-one, 4-[(3R)-3-amino-1-oxo-4-(2,4,5-trifluorophenyl)butyl]hexahydro-3-(4-pyridinylmethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 685858-64-4 CAPLUS

CN 2H-1,4-Diazepin-2-one, 4-[(3R)-3-amino-1-oxo-4-(2,4,5-trifluorophenyl)butyl]-3-[(2-fluorophenyl)methyl]hexahydro-1-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 685858-66-6 CAPLUS

CN 2H-1, 4-Diazepin-2-one, 4-[(3R)-3-amino-1-oxo-4-(2, 4, 5-

trifluorophenyl)butyl]hexahydro-3-(2-pyridinylmethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 685858-68-8 CAPLUS

CN 2H-1,4-Diazepin-2-one, 4-[(3R)-3-amino-1-oxo-4-(2,4,5-trifluorophenyl)butyl]-3-[(2,6-difluorophenyl)methyl]hexahydro- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

$$F = F$$

$$F = F$$

$$F = F$$

RN 685858-70-2 CAPLUS

CN 2H-1,4-Diazepin-2-one, 4-[(3R)-3-amino-1-oxo-4-(2,4,5-trifluorophenyl)butyl]hexahydro-3-(2,2,2-trifluoroethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 685858-72-4 CAPLUS

CN 2H-1,4-Diazepin-2-one, 4-[(3R)-3-amino-1-oxo-4-(2,4,5-trifluorophenyl)butyl]hexahydro-3-methyl-, (3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

$$\begin{array}{c|c} & & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & &$$

RN 685858-74-6 CAPLUS

CN 2H-1,4-Diazepin-2-one, 4-[(3R)-3-amino-1-oxo-4-(2,4,5-trifluorophenyl)butyl]hexahydro-1-methyl-3-(phenylmethyl)-, (3R)- (9CI)

Absolute stereochemistry.

RN 685858-76-8 CAPLUS

CN 2H-1,4-Diazepin-2-one, 4-[(3R)-3-amino-1-oxo-4-(2,4,5-trifluorophenyl)butyl]hexahydro-3-[[4-(trifluoromethoxy)phenyl]methyl]-, (3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

$$\begin{array}{c|c} & & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ \end{array}$$

RN 685858-78-0 CAPLUS

CN 2H-1,4-Diazepin-2-one, 4-[(3R)-3-amino-1-oxo-4-(2,4,5-trifluorophenyl)butyl]-1-(1,1-dimethylethyl)hexahydro-3-methyl-, (3R)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 685858-80-4 CAPLUS

CN 2H-1,4-Diazepin-2-one, 4-[(3R)-3-amino-4-(2,5-difluorophenyl)-1-oxobutyl]hexahydro-1-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Me
$$H_2N$$

RN 685858-82-6 CAPLUS

CN 2H-1,4-Diazepin-2-one, 4-[(3R)-3-amino-1-oxo-4-(2,4,5-trifluorophenyl)butyl]hexahydro-5-methyl- (9CI) (CA INDEX NAME)

$$\begin{array}{c} \text{Me} \\ \text{NH}_2 \\ \text{F} \end{array}$$

=> d 12; d his; log y L2 HAS NO ANSWERS L1 STR

$$\begin{bmatrix} 1 \\ 1 \end{bmatrix} \begin{bmatrix} 1 \\ 3 \end{bmatrix} \begin{bmatrix} 1$$

Structure attributes must be viewed using STN Express query preparation. L2 QUE ABB=ON PLU=ON L1

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L1 STRUCTURE UPLOADED

L2 · QUE L1

L3 6 S L2

L5

L4 105 S L2 FUL

FILE 'CAPLUS' ENTERED AT 18:06:26 ON 11 NOV 2006 4 S L4

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